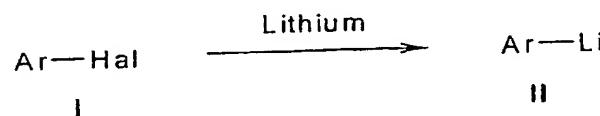


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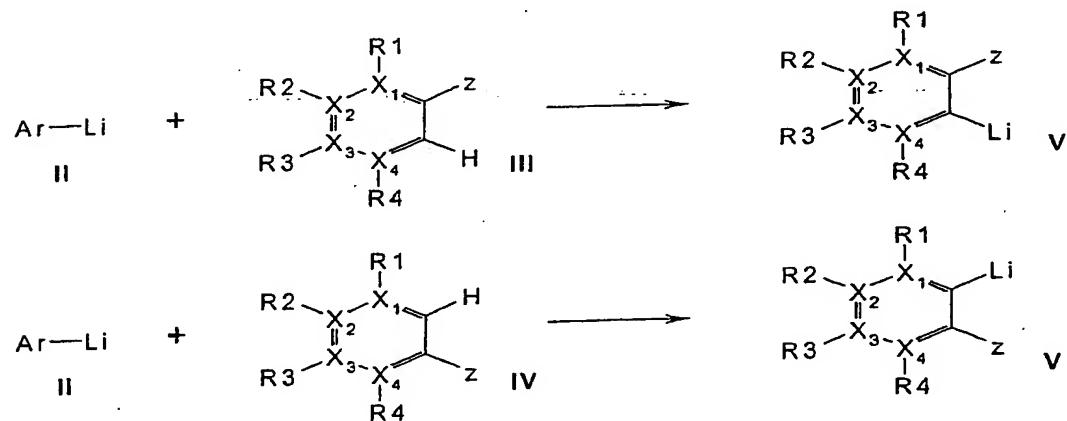
## Claims:

1. A process for preparing aryllithium compounds of the formulae (V) and (VI) and reacting them with suitable electrophiles to give compounds of the formulae (VII) and (VIII), aryl halides of the formula (I) are reacted with lithium metal to generate a lithium compound (II), this is used for deprotonating the aromatic substrate (III) or (IV), and is finally converted by addition of the electrophilic component into the target compound of the formula (VII) or (VIII) (equation 1),

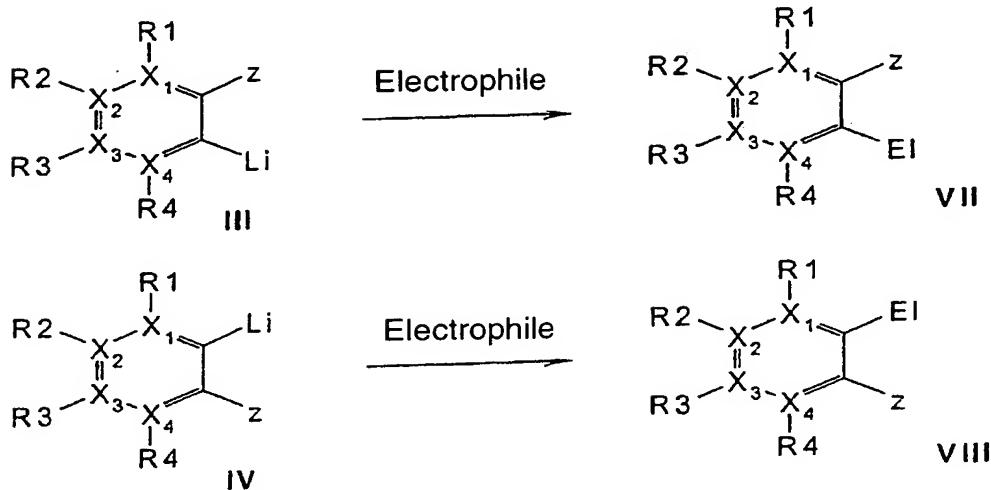
## Step 1: Generation of the base



## Step 2: Deprotonation of the substrate



## Step 3: Reaction of the aryllithium compound with an electrophile



(Equation 1)

5 where Ar is phenyl, alkyl-substituted phenyl, fluorine- or chlorine-substituted phenyl, naphthyl, alkyl-substituted naphthyl or is biphenyl,

10 Hal = fluorine, chlorine, bromine or iodine,

15 the radicals X<sub>1-4</sub> are, independently of one another, either carbon, X<sub>i</sub>R<sub>i</sub> (i = 1-4) can symbolize nitrogen, or two radicals X<sub>i</sub>R<sub>i</sub> which are adjacent or connected via a formal double bond can together be O (furans), S (thiophenes), NH or NR<sub>i</sub> (pyrroles),

20 Z is, in the case of benzoidal aromatics, a group which activates the ortho position, for example CF<sub>3</sub>, OCF<sub>3</sub>, Cl, F, Oalkyl, Oaryl, Salkyl, Saryl, CH<sub>2</sub>OH, CH<sub>2</sub>OR, CH(OR)<sub>2</sub>, CONR<sub>2</sub>, NHR, NR<sub>2</sub>, or in the case of heterocycles has the same meaning as R<sub>1-4</sub>,

25 the radicals R<sub>1-4</sub> are substituents selected from the group consisting of hydrogen, methyl, primary, secondary or tertiary, cyclic or acyclic alkyl radicals having from 2 to 12 carbon atoms, substituted cyclic or acyclic alkyl groups, alkoxy, dialkylamino, alkylamino, arylamino, diarylamino, phenyl, substituted phenyl, alkylthio, diarylphosphino, dialkylphosphino, dialkylaminocarbonyl or diarylaminocarbonyl, monoalkylaminocarbonyl or monoarylamino carbonyl, CO<sub>2</sub>alkyl,

$\text{CO}_2$ , 1-hydroxyalkyl, 1-alkoxyalkyl, fluorine or chlorine, CN or heteroaryl, where two adjacent radicals R<sub>1-4</sub> can together correspond to a fused-on aromatic or aliphatic ring,

5 and "Electrophile" is any electrophilic component which can be reacted with aryllithium compounds.

2. The process as claimed in claim 1, wherein the compounds of the formula (III) or (IV) are selected from the group consisting of benzenes, furans, thiophenes, pyridines, pyridazines, pyrimidines, 10 pyrazines, N-substituted pyrroles, benzofurans, indoles and naphthalenes.
- 15 3. The process as claimed in claim 1 or 2, characterized in that the electrophile used is a compound selected from the following group: oxirane, substituted oxirane, azomethine, aryl or alkyl cyanate, nitroenolate, immonium salts, haloaromatics, aryl triflates, other aryl sulfonates, carbon dioxide, carbon monoxide, aldehydes, ketones,  $\alpha,\beta$ -unsaturated aldehydes or ketones, ketenes, alkali metal or alkaline earth metal salts of carboxylic acids, aliphatic nitriles, aromatic nitriles, amides, esters and alkylating agents and boron 20 electrophiles of the formula  $\text{BW}_3$ , where the radicals W are identical or different and are each C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorine, chlorine, bromine, iodine, N(C<sub>1</sub>-C<sub>6</sub>-alkyl)<sub>2</sub> or S(C<sub>1</sub>-C<sub>5</sub>-alkyl), and silicon electrophiles of 25 the formula SiW<sub>4</sub>, where the radicals W are identical or different and are each C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorine, chlorine, bromine, iodine, N(C<sub>1</sub>-C<sub>6</sub>-alkyl)<sub>2</sub> or S(C<sub>1</sub>-C<sub>5</sub>-alkyl).
- 30 4. The process as claimed in at least one of the preceding claims, characterized in that the reaction is carried out in an organic ether solvent.
- 35 5. The process as claimed in at least one of the preceding claims, characterized in that the reaction temperature is in the range from -100 to +35°C.
6. The process as claimed in at least one of the preceding claims, characterized in that the concentrations of the aliphatic or aromatic

intermediates of the formula (II) or (IV) are in the range from 5 to 30% by weight.

7. The process as claimed in at least one of the preceding claims,  
5 characterized in that the amount of lithium added per mole of halogen reacted is from 1.95 to 2.5 mol.
8. The process as claimed in at least one of the preceding claims,  
10 characterized in that organic redox systems are added to the reaction mixture, preferably in amounts of < 0.5 mol%.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/09252

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07F1/02

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07F

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X, Y	SCHLOSSER ET AL: "Displacement of Halogens" April 2002 (2002-04) , ORGANOMETALLICS IN SYNTHESIS. A MANUAL, PART I, CHAPTER 4.1, PAGES 86-112 AND 314-324 XP002261337 page 86 -page 112; table 18 ---	1-8
Y	SCHLOSSER ET AL: "Organometallics in Synthesis, A Manual passage" , ORGANOMETALLICS IN SYNTHESIS. A MANUAL, XX, XX, PAGE(S) 223-247, 341-347 XP002228264 Seiten 229, 238, 241 ---	1-8 ---

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

## \* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
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- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

13 November 2003

Date of mailing of the international search report

09/12/2003

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## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 03/09252

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00 64905 A (GISSOT ARNAUD ; JOST SYLVIE (FR); WAGNER ALAIN (FR); DESMURS JEAN R) 2 November 2000 (2000-11-02) Seite 7, Zeilen 9-11 example 1; table 1	1-8
P, Y	WO 03 033503 A (CLARIANT GMBH ; MEUDT ANDREAS (DE)) 24 April 2003 (2003-04-24) the whole document	1-8
X	SICE, JEAN: "Preparation and Reactions of 2-Methylthiophene" J. AM. CHEM. SOC., vol. 75, 1975, pages 3697-3700, XP002261336 page 3699, column 1, line 30 - line 42	1-8

**INTERNATIONAL SEARCH REPORT**

Information on patent family members

International Application No

PCT/EP 03/09252

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 0064905	A	02-11-2000	FR AU WO	2793792 A1 4302600 A 0064905 A2		24-11-2000 10-11-2000 02-11-2000
WO 03033503	A	24-04-2003	DE WO	10150615 A1 03033503 A2		30-04-2003 24-04-2003